PATENT COOPERATION TREATY

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From the
INTERNATIONAL PRELIMINARY EXAMINING AUTHORITY

To:

GLOBAL INTELLECTUAL PROPERTY
AstraZeneca AB
S- 151 85 Södertälje
SUEDE

CODE	DATE	NTD

ANKOM 28 FEB 2005 GIPS

DATA ENTERED

FINAL CHECK

PCT 1 MAR 2005

NOTIFICATION OF TRANSMITTAL OF THE INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Rule 71.1)

Date of mailing *(day/month/year)*: 24.02.2005

Applicant's or agent's file reference
101016-1 WO

IMPORTANT NOTIFICATION

International application No.	International filing date *(day/month/year)*	Priority date *(day/month/year)*
PCT/SE2004/000535	06.04.2004	07.04.2003

Applicant
ASTRAZENECA AB et al

1. The applicant is hereby notified that this International Preliminary Examining Authority transmits herewith the international preliminary examination report and its annexes, if any, established on the international application.

2. A copy of the report and its annexes, if any, is being transmitted to the International Bureau for communication to all the elected Offices.

3. Where required by any of the elected Offices, the International Bureau will prepare an English translation of the report (but not of any annexes) and will transmit such translation to those Offices.

4. **REMINDER**

   The applicant must enter the national phase before each elected Office by performing certain acts (filing translations and paying national fees) within 30 months from the priority date (or later in some Offices) (Article 39(1)) (see also the reminder sent by the International Bureau with Form PCT/IB/301).

   Where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary examination report. It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned.

   For further details on the applicable time limits and requirements of the elected Offices, see Volume II of the PCT Applicant's Guide.

   The applicant's attention is drawn to Article 33(5), which provides that the criteria of novelty, inventive step and industrial applicability described in Article 33(2) to (4) merely serve the purposes of international preliminary examination and that "any Contracting State may apply additional or different criteria for the purposes of deciding whether, in that State, the claimed inventions is patentable or not" (see also Article 27(5)). Such additional criteria may relate, for example, to exemptions from patentability, requirements for enabling disclosure, clarity and support for the claims.

Name and mailing address of the international preliminary examining authority:

European Patent Office
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Authorized Officer

Roche, S

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PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

CODE	DATE	NTD

ANKOM 28 FEB 2005 GIPS

DATA ENTERED

FINAL

Applicant's or agent's file reference 101016-1 WO	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/SE2004/000535	International filing date *(day/month/year)* 06.04.2004	Priority date *(day/month/year)* 07.04.2003
International Patent Classification (IPC) or both national classification and IPC C07C317/22		
Applicant ASTRAZENECA AB et al		

1. This International preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 5 sheets, including this cover sheet.

☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the opinion
- II ☐ Priority
- III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☐ Certain observations on the international application

Date of submission of the demand	Date of completion of this report
29.10.2004	24.02.2005

Name and mailing address of the international preliminary examining authority:

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Authorized Officer

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INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/SE2004/000535

I. Basis of the report

1. With regard to the **elements** of the international application *(Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17))*:

Description, Pages

1-113 as originally filed

Claims, Numbers

1-11 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
- ☐ the claims, Nos.:
- ☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application,

☒ claims Nos. 10, 11

because:

☒ the said international application, or the said claims Nos. 10, 11 relate to the following subject matter which does not require an international preliminary examination (specify):

see separate sheet

☐ the description, claims or drawings *(indicate particular elements below)* or said claims Nos. are so unclear that no meaningful opinion could be formed *(specify)*:

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

☐ no international search report has been established for the said claims Nos.

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

☐ the written form has not been furnished or does not comply with the Standard.

☐ the computer readable form has not been furnished or does not comply with the Standard.

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes:	Claims	1-11
	No:	Claims	
Inventive step (IS)	Yes:	Claims	1-11
	No:	Claims	
Industrial applicability (IA)	Yes:	Claims	1-9
	No:	Claims	10, 11 (?)

2. Citations and explanations

see separate sheet

Re Item III

Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

Claims 10 and 11 relate to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of these claims (Article 34(4)(a)(I) PCT).

Re Item V

Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

The present application according to claims 1 to 11 concerns phenoxyacetic acid derivatives of general formula (I) which are said to be active at the CRTH2 receptor and are therefore suitable for treating various respiratory diseases (preferably asthma).

novelty

The subject-matter according to claims 1 to 11 is novel (Art. 33(2) PCT).
None of the documents of the available prior art (see present page 1, 2nd paragraph) discloses phenoxyacetic acid derivatives of general formula (I) according to claim 1.
Thus, novelty of the subject-matter claimed is given.

inventive step

The subject-matter according to claims 1 to 11 is based on an inventive step (Art. 33(3) PCT).

In view of the closest state of the art as cited on page 1, 2nd paragraph of the description, the problem posed is the provision of further compounds being useful for treating diseases mediated by prostaglandin D2. This is solved by the present phenoxyacetic acid derivatives of general formula (I). From the 170 examples prepared, two phenyl as well as one pyrimidinyl substituted phenoxyacetic acid derivative of (I) have been tested to show the desired binding activity (see page 113, lines 34-36).
There is no hint in the available prior art which would have led the skilled person to the present phenoxyacetic acid derivatives in order to solve the above problem. For example, GB-A 1356834 discloses indolylacetic acid derivatives which show e.g. anti-inflammatory

activity and EP-A 1 170 594 discloses prostaglandin derivatives (see fig. 6) which are active at the CRTH2 receptor, both types of compounds are structurally remote to the present phenoxyacetic acids. Thus, the present solution has been achieved in an unobvious manner and inventiveness of the subject-matter claimed is also given.

industrial applicability

For the assessment of the present claims 10 and 11 on the question whether they are industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.

further remarks

The embodiment of the invention described on page 11, line 8 having regard to the term "prodrug" do not fall within the scope of claim 1. This inconsistency between the claims and the description leads to doubt conceming the matter for which protection is sought, thereby rendering the claims unclear (Art. 6 PCT).

In addition it is noted that this term is a functional term, ie an expression attempting to define the subject-matter in terms of a desired property instead of indicating precisely the technical features specifically designed to solve the problem posed which is in contrast to Art. 5 and 6 PCT.